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(FILE 'HOME' ENTERED AT 09:25:26 ON 24 FEB 2005)

L1 FILE 'HCAPLUS' ENTERED AT 09:25:31 ON 24 FEB 2005  
6: (US20040171818 OR US20050037982)/PN

FILE 'REGISTRY' ENTERED AT 09:26:18 ON 24 FEB 2005

L2 FILE 'HCAPLUS' ENTERED AT 09:26:20 ON 24 FEB 2005  
TRA L1 1- RN : 724 TERMS

L3 FILE 'REGISTRY' ENTERED AT 09:26:21 ON 24 FEB 2005  
724 SEA 102

L4 FILE 'WPIX' ENTERED AT 09:26:26 ON 24 FEB 2005  
1 (US20040171818 OR US20050037982)/PN

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FILE 'HCAPLUS' ENTERED AT 09:26:51 ON 24 FEB 2005  
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FILE COVERS 1907 - 24 Feb 2005 VOL 142 ISS 9  
FILE LAST UPDATED: 23 Feb 2005 (20050223/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2005:140788 HCAPLUS  
ED Entered STN: 18 Feb 2005  
TI 6-11 bicyclic ketolide derivatives  
IN Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Vo, Nha Huu; Qiu, Yao-ling; Wang, Yanchun; Busuyek, Marina; Hou, Ying; Peng, Yulin; Kim, Heejin; Liu, Tongzhu; Farmer, Jay Judson; Xu, Guoyou  
PA USA  
SO U.S. Pat. Appl. Publ., 210 pp., Cont.-in-part of U.S. Ser. No. 144,558, abandoned.  
CODEN: USXXCO  
DT Patent  
LA English  
IC ICM C07H017-08  
ICS A61K031-7048  
NCL 514028000: 536007100  
CC 33 (Carbohydrates)  
FAN.CNT 10

| PATENT NO. | KIND  | DATE  | APPLICATION NO. | DATE  |
|------------|-------|-------|-----------------|-------|
| -----      | ----- | ----- | -----           | ----- |

|      |   |    |          |                 |              |
|------|---|----|----------|-----------------|--------------|
| PI   | US 2005037982   | A1 | 20050217 | US 2003-429485  | 20030505 <-- |
|      | WO 2003097659   | A1 | 20031127 | WO 2003-US14669 | 20030509     |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH,<br>PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,<br>UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,<br>KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,<br>FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,<br>BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |    |          |                 |              |
|      | EP 1506214  | A1 | 20050216 | EP 2003-733983  | 20030509     |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  |    |          |                 |              |
|      | US 2004157787   | A1 | 20040812 | US 2003-717290  | 20031119     |
|      | US 2004171818   | A1 | 20040902 | US 2004-758409  | 20040114 <-- |
|      | US 2005009761   | A1 | 20050113 | US 2004-763377  | 20040123     |
| PRAI | US 2002-144558  | B2 | 20020513 |                 |              |
|      | US 2002-144396  | B2 | 20020513 |                 |              |
|      | US 2002-205018  | A2 | 20020725 |                 |              |
|      | US 2002-205357  | A2 | 20020725 |                 |              |
|      | US 2003-429485  | A  | 20030505 |                 |              |
|      | WO 2003-US14669   | W  | 20030509 |                 |              |
|      | US 2003-436622  | A2 | 20030513 |                 |              |
|      | US 2003-464188  | A2 | 20030618 |                 |              |

## CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|------------|-------|------------------------------------|
|------------|-------|------------------------------------|

|                |     |                      |
|----------------|-----|----------------------|
| US 20050037982 | ICM | C07H017-08           |
|                | ICS | A61K031-7048         |
|                | NCL | 514028000; 536007100 |

|               |      |             |
|---------------|------|-------------|
| US 2004157787 | ECLA | C07H017/08F |
| US 2004171818 | ECLA | C07H017/08F |

&lt;--

AB The present invention discloses compounds of formula I, or pharmaceutically acceptable salts, esters, or prodrugs thereof: 1 which exhibit antibacterial properties. The present invention further relates to pharmaceutical compositions comprising the aforementioned compounds for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compounds of the present invention. The invention further includes process by which to make the compounds of the present invention.

L1 ANSWER 2 OF 6 HCPLUS COPYRIGHT 2005 ACS on STN  
AN 2005:34589 HCPLUS  
DN 142:114362  
ED Entered STN: 14 Jan 2005  
TI Preparation of glycoside bridged macrocyclic compounds as antibacterial agents  
IN Or, Yat Sun  
PA USA  
SO U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 464,188.  
CODEN: USXXCO  
DT Patent  
LA English  
IC ICM C07H017-08  
ICS A61K031-7048  
NCL 514028000; 536007100  
CC 33-7 (Carbohydrates)  
Section cross-reference(s): 1, 10, 63

| FAN.CNT 10 | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------------|------|------|-----------------|------|
|------------|------------|------|------|-----------------|------|

|      |                |    |          |                |              |
|------|----------------|----|----------|----------------|--------------|
| PI   | US 2005009761  | A1 | 20050113 | US 2004-763377 | 20040123     |
|      | US 2004023895  | A1 | 20040205 | US 2002-205018 | 20020725     |
|      | US 6841664     | B2 | 20050111 |                |              |
|      | US 6753318     | B1 | 20040622 | US 2002-205357 | 20020725     |
|      | US 2005037982  | A1 | 20050217 | US 2003-429485 | 20030505 <-- |
|      | US 2004053861  | A1 | 20040318 | US 2003-436622 | 20030513     |
|      | US 6764998     | B1 | 20040720 | US 2003-464188 | 20030618     |
| PRAI | US 2002-144396 | B2 | 20020513 |                |              |
|      | US 2002-144558 | B2 | 20020513 |                |              |
|      | US 2002-205018 | A2 | 20020725 |                |              |
|      | US 2002-205357 | A2 | 20020725 |                |              |
|      | US 2003-429485 | A2 | 20030505 |                |              |
|      | US 2003-436622 | A2 | 20030513 |                |              |
|      | US 2003-464188 | A2 | 20030618 |                |              |

## CLASS

| PATENT NO.    | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---------------|-------|------------------------------------|
| US 2005009761 | ICM   | C07H017-08                         |
|               | ICS   | A61K031-7048                       |
|               | NCL   | 514028000; 536007100               |
| US 2004023895 | ECLA  | C07H017/08F                        |
| US 2004053861 | ECLA  | C07H017/08F                        |

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention provides a method for preparing bridged macrocyclic glycosides, e.g. I, wherein R is H, acyl, silane, hydroxy protecting group; L and R3 are independently H, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; one of U or V is H and the other is independently selected from R4, . OR4, OC(O)R4, oxy-amide, S(O)nR4, sugar residue; R4 is H, deuterium, alkyl, alicyclic, aromatic, heterocyclic; U and V, taken together with the carbon atom to which they are attached, are C:O, or UV and R1R2, taken together with the carbon atoms to which they are attached, are -C(R4)CH-; X and Y together with the carbon atom to which they are attached are CO, imine, oxime; X1 is H or halogen; n is 0-2, comprising the step of reacting a macrocyclic compound characterized by having at least two nucleophilic moieties with a bi-functional bridging reagent optionally in the presence of a catalyst, thereby producing a bridged macrocyclic product. Thus, macrolide II was prepared as potential antibacterial agent. This invention also encompasses pharmaceutical compns. containing, and methods of treating bacterial infections through administering, pharmaceutically acceptable prodrugs of compds. produced by the process of the present invention (no data).

ST aminodeoxy glycoside macrocyclic prepn antibacterial

IT Glycosides

RL: SPN (Synthetic preparation); PREP (Preparation)  
(amino; preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT Macrolides

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT 110-64-5, 2-Butene-1,4-diol 3513-81-3 13127-18-9 76801-85-9  
652150-15-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT 116700-73-3P 134297-05-5P 314050-27-6P 620161-75-3P 625390-08-1P  
625390-10-5P 652150-16-8P 652157-58-9P 823802-96-6P 823802-97-7P

823802-99-9P 823803-00-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT 620161-76-4P 823802-98-8P 823803-01-6P 823803-03-8P 823803-04-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

L1 ANSWER 3 OF 6 HCPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:722951 HCPLUS  
 DN 141:225773  
 ED Entered STN: 03 Sep 2004  
 TI Processes for the preparation of 6-11-bicyclic erythromycin derivatives via palladium-catalyzed condensation reaction  
 IN Xu, Guoyou; Tang, Datong; Gai, Yonghua; Kim, Heejin; Wang, Guoqiang; Phan, Ly Tam; Or, Yat Sun; Wang, Zhe  
 PA USA  
 SO U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 436,622.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 IC ICM C07H017-08  
 NCL 536007400  
 CC 33-7 (Carbohydrates)  
 Section cross-reference(s): 1. 63

## FAN.CNT 10

|      | PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE         |
|------|----------------|------|----------|-----------------|--------------|
| PI   | US 2004171818  | A1   | 20040902 | US 2004-758409  | 20040114 <-- |
|      | US 2005037982  | A1   | 20050217 | US 2003-429485  | 20030505 <-- |
|      | US 2004053861  | A1   | 20040318 | US 2003-436622  | 20030513     |
| PRAI | US 2002-144396 | B2   | 20020513 |                 |              |
|      | US 2002-144558 | B2   | 20020513 |                 |              |
|      | US 2003-429485 | A2   | 20030505 |                 |              |
|      | US 2003-436622 | A2   | 20030513 |                 |              |

## CLASS

| PATENT NO.    | CLASS                                  | PATENT FAMILY CLASSIFICATION CODES |
|---------------|--|------------------------------------|
| US 2004171818 | ICM C07H017-08                         |                                    |
|               | NCL 536007400                          |                                    |
| US 2004171818 | ECLA C07H017/08F                       | <--                                |
| US 2004053861 | ECLA C07H017/08F                       |                                    |
| OS            | CASREACT 141:225773; MARPAT 141:225773 |                                    |
| GI            |  |                                    |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to processes and intermediates for the preparation of 6-11 bicyclic erythromycin derivs. I, wherein R-R2 are independently selected from hydrogen, acyl, silane, aliphatic group, alicyclic group, aromatic group, heteroarom. group, saturated or unsatd. heterocyclic; Q is independently selected from R2, alkoxy, ester, heterocycle; Z is independently selected from R2, alkoxy, ester, amide, oxy-sulfonyl, were prepared I was prepared via palladium-catalyzed condensation of macrolide II with ester III. In particular, the present invention relates to processes and intermediates for the preparation of a macrolide IV.

ST prodrug erythromycin amino glycoside prepn palladium catalyzed condensation macrolide; bicyclic erythromycin amino glycoside prepn

IT palladium catalyzed condensation ester  
 IT Macrolides  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
     (glycosides; processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT Glycosides  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
     (lactones, macrolides; processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT Condensation reaction  
 Condensation reaction catalysts  
     (processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 7440-05-3, Palladium, uses 51364-51-3, Pd2(db)3  
 RL: CAT (Catalyst use); USES (Uses)  
     (processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 314050-27-6P 321533-62-4P 620161-75-3P 620161-78-6P 628703-61-7P  
 748796-37-4P 748796-38-5P 748796-39-6P 748796-40-9P  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
     (processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 625390-37-6P 748796-41-0P 748797-36-6P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
     (processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 288-13-1, Pyrazole 524-38-9, n-Hydroxyphthalimide 3513-81-3.  
 2-Methylene-1,3-propanediol 13127-18-9, Erythromycin a oxime  
 24424-99-5, Di-tert-butyl dicarbonate 73781-91-6, Methyl 6-chloronicotinate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
     (processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 7688-25-7, 1,4-Bis(diphenylphosphino)butane  
 RL: RGT (Reagent); RACT (Reactant or reagent)  
     (processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

L1 ANSWER 4 OF 6 HCPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:652626 HCPLUS  
 DN 141:190995  
 ED Entered STN: 13 Aug 2004  
 TI Preparation of 6-11-bicyclic erythromycin ketolide derivatives as antibacterial agents  
 IN Or, Yat Sun; Guoqiang, Wang; Phan, Ly Tam; Niu, Deqiang; Vo, Nha Huu; Qiu, Yao-Ling; Wang, Yanchun; Busuyek, Marina; Hou, Ying; Peng, Yulin; Kim, Heejin; Liu, Tongzhu; Farmer, Jay Judson; Xu, Guoyav  
 PA USA  
 SO U.S. Pat. Appl. Publ., 156 pp., Cont.-in-part of U.S. Ser. No. 429,485.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 IC ICM A61K031-7048  
 ICS C07H017-08  
 NCL 514028000; 536007400  
 CC 33-7 (Carbohydrates)  
 Section cross-reference(s): 1, 10, 63  
 FAN.CNT 10

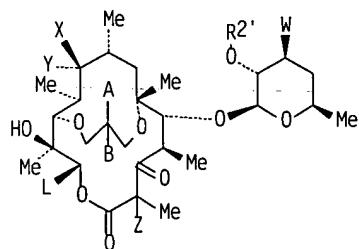
| PATENT NO. | KIND  | DATE  | APPLICATION NO. | DATE  |
|------------|-------|-------|-----------------|-------|
| -----      | ----- | ----- | -----           | ----- |

|      |                |    |          |                |              |
|------|----------------|----|----------|----------------|--------------|
| PI   | US 2004157787  | A1 | 20040812 | US 2003-717290 | 20031119     |
|      | US 2005037982  | A1 | 20050217 | US 2003-429485 | 20030505 <-- |
| PRAI | US 2002-144558 | B2 |          | 20020513       |              |
|      | US 2003-429485 | A2 |          | 20030505       |              |

## CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

|                      |      |                      |
|----------------------|------|----------------------|
| US 2004157787        | ICM  | A61K031-7048         |
|                      | ICS  | C07H017-08           |
|                      | NCL  | 514028000; 536007400 |
| US 2004157787        | ECLA | C07H017/08F          |
| OS MARPAT 141:190995 |      |                      |
| GI                   |      |                      |



AB 6-11 Bicyclic erythromycin ketolide derivs. I, wherein A is OH, ORp, where Rp is a hydroxy protecting group. R1, where R1 is aryl, heteroaryl, OR1, R2, where R2 is H, halogen, alkyl, alkenyl, alkynyl, OR2, amine, amide, sulfonyl, sulfonamide; B is H, deuterium, halogen, OH, R1, R2, ORp; A and B together with the carbon atom to which they are attached form CO, ketal, thioketal, alkylidene, oxime; one of X and Y is H and the other is H, deuterium, OH, ORp, amine; X and Y are together CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, Me, halogen; R2' is H, Rp, were prepared as antibacterial agents. Thus, bicyclic erythromycin ketolide I, wherein A and B taken together with the carbon atom to which they are attached are C=CH<sub>2</sub>, X and Y taken together with the carbon atom to which they are attached are C=N-Ac, L = CH<sub>2</sub>CH<sub>3</sub>, Z = H, and R2' = Ac, was prepared and tested in vitro as antibiotic agent. The compds. of the invention demonstrated in vitro antibacterial activity of MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment.

ST human bicyclic erythromycin ketolide macrolide glycoside prepn  
antibacterial

IT Glycosides  
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(amino; preparation of bicyclic erythromycin ketolide derivs. as  
antibacterial agents)

IT Infection  
(bacterial; preparation of bicyclic erythromycin ketolide derivs. as  
antibacterial agents)

IT Antibiotics  
(macrolide; preparation of bicyclic erythromycin ketolide derivs. as  
antibacterial agents)

IT Antibacterial agents

## Human

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 14221-01-3. Tetrakis(triphenylphosphine)palladium 31210-36-3

51364-51-3, Pd2(db)3

RL: CAT (Catalyst use); USES (Uses)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 628698-55-5P 628698-56-6P 628698-59-9P 628698-60-2P 628698-61-3P  
 628698-62-4P 628698-64-6P 628698-66-8P 628698-67-9P 628698-68-0P  
 628698-69-1P 628698-70-4P 628698-71-5P 628698-72-6P 628698-74-8P  
 628698-75-9P 628698-81-7P 628698-82-8P 628698-83-9P 628698-84-0P  
 628698-85-1P 628698-86-2P 628698-87-3P 628698-88-4P 628698-89-5P  
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 628698-95-3P 628698-96-4P 628698-97-5P 628698-98-6P 628698-99-7P  
 628699-00-3P 628699-01-4P 628699-02-5P 628699-03-6P 628699-04-7P  
 628699-05-8P 628699-06-9P 628699-07-0P 628699-08-1P 628699-09-2P  
 628699-10-5P 628699-11-6P 628699-12-7P 628699-13-8P 628699-15-0P  
 628699-16-1P 628699-17-2P 628699-18-3P 628699-19-4P 628699-20-7P  
 628699-21-8P 628699-22-9P 628699-23-0P 628699-24-1P 628699-25-2P  
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 628699-31-0P 628699-32-1P 628699-33-2P 628699-34-3P 628699-35-4P  
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 628699-46-7P 628699-47-8P 628699-48-9P 628699-49-0P 628699-50-3P  
 628699-51-4P 628699-52-5P 628699-53-6P 628699-54-7P 628699-55-8P  
 628699-56-9P 628699-57-0P 628699-58-1P 628699-59-2P 628699-60-5P  
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 628701-40-6P 628701-43-9P 628701-45-1P 628701-47-3P 628701-49-5P  
 628701-51-9P 628701-53-1P 628701-55-3P 628701-57-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 628701-59-7P 628701-61-1P 628701-63-3P 628701-64-4P 628701-65-5P  
 628701-66-6P 628701-68-8P 628701-69-9P 628701-70-2P 628701-71-3P  
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628701-83-7P 628701-84-8P 628701-85-9P 628701-86-0P 628701-88-2P  
 628701-90-6P 628701-91-7P 628701-93-9P 628701-94-0P 628701-95-1P  
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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 116700-73-3P 123784-07-6P 620161-75-3P 625389-96-0P 625389-97-1P  
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RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 62-53-3. Aniline, reactions 64-04-0. Phenethylamine 80-17-1 92-66-0  
 100-39-0 100-46-9. Benzylamine, reactions 101-55-3 103-64-0.  
 .beta.-Bromostyrene 105-36-2 504-29-0. 2-Pyridinamine 524-38-9.  
 N-Hydroxyphthalimide 590-17-0 591-50-4. Iodobenzene 613-94-5  
 622-30-0. Benzylhydroxylamine 622-33-3 932-87-6 1034-49-7  
 1449-46-3 1589-82-8. Benzmagnesium bromide 1730-25-2. Allylmagnesium bromide 1782-39-4 1944-96-3 2038-57-5. Benzenepropanamine 2113-57-7 2567-29-5 3277-89-2. Phenethylmagnesium bromide 3319-99-1  
 3360-54-1 3513-81-3 4616-54-0 4732-11-0 4846-21-3 4916-55-6  
 4930-98-7 5332-24-1 7688-25-7 13214-66-9. Benzenebutanamine 14704-31-5 15256-11-8 18462-35-6 26146-77-0 26776-70-5,  
 1,3-Dihydroxyacetone dimer 27570-08-7 30777-95-8 30777-96-9  
 33675-41-1 36881-42-2 37756-48-2 37832-20-5 39854-54-1  
 52552-21-3 54624-57-6 55418-29-6 55418-32-1 58841-74-0  
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 78254-23-6 79349-78-3 83670-46-6 87413-09-0. Dess-Martin reagent 92856-14-9 94115-39-6 111321-02-9 115665-71-9 133609-18-4  
 133745-75-2. N-Fluorobenzenesulfonimide 144429-18-5 149649-90-1  
 150191-56-3 154357-82-1 160725-45-1 198694-68-7 205111-38-2  
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RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 87742-13-0

RL: RGT (Reagent); RACT (Reactant or reagent)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

L1 ANSWER 5 OF 6 HCPLUS COPYRIGHT 2005 ACS on STN

AN 2004:220028 HCPLUS

DN 140:236004

ED Entered STN: 19 Mar 2004

TI Preparation of 6,11-bicyclic erythromycin macrolides as antibacterial agents

IN Dr. Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Qiu, Yao-Ling; Vo, Nha Huu; Farmer, Jay Judson; Hou, Ying

PA USA

SO U.S. Pat. Appl. Publ., 43 pp.. Cont.-in-part of U.S. Ser. No. 144,396. abandoned.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K031-7048

ICS A61K031-7052; C07H017-08

NCL 514028000; 536007100; 536017400

CC 33-7 (Carbohydrates)

Section cross-reference(s): 1, 10, 63

FAN.CNT 10

|      | PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE         |
|------|----------------|------|----------|-----------------|--------------|
| PI   | US 2004053861  | A1   | 20040318 | US 2003-436622  | 20030513     |
|      | US 2004171818  | A1   | 20040902 | US 2004-758409  | 20040114 <-- |
|      | US 2005009761  | A1   | 20050113 | US 2004-763377  | 20040123     |
| PRAI | US 2002-144396 | B2   | 20020513 |                 |              |
|      | US 2002-144558 | B2   | 20020513 |                 |              |
|      | US 2002-205018 | A2   | 20020725 |                 |              |

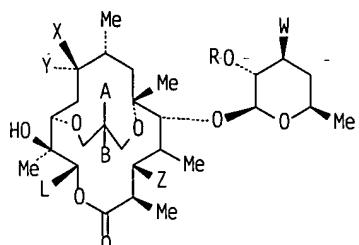
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|----------------|----|----------|
| US 2002-205357 | A2 | 20020725 |
| US 2003-429485 | A2 | 20030505 |
| US 2003-436622 | A2 | 20030513 |
| US 2003-464188 | A2 | 20030618 |

**CLASS**

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|------------|-------|------------------------------------|
|------------|-------|------------------------------------|

|               |          |                                 |
|---------------|----------|---------------------------------|
| US 2004053861 | ICM      | A61K031-7048                    |
|               | ICS      | A61K031-7052; C07H017-08        |
|               | NCL      | 514028000; 536007100; 536017400 |
| US 2004053861 | ECLA     | C07H017/08F                     |
| US 2004171818 | ECLA     | C07H017/08F                     |
| OS            | CASREACT | 140:236004; MARPAT              |
| GI            |          | 140:236004                      |

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I

AB 6.11-Bicyclic erythromycin macrolides I, wherein A is OH, OR1, R1 is hydroxy protecting group, aryl, heteroaryl, O-aryl, O-heteroaryl, H, halogen, alkyl, alkenyl, alkynyl, sulfonyl, amide, sulfonamide, amine; B is H, deuterium, halogen, OH, aryl, heteroaryl, OR1; A and B together are O, acetal, thioacetal, acyl, alkene, oxime; X and Y are independently H, deuterium, OR1, amine; X and Y together are CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, OH, OR1, alkoxy, ester, O-amide, sulfonyl, heterocycle, or pharmaceutically acceptable salts, esters, or prodrugs thereof which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Title compds. were tested for in vitro antibacterial activity by a micro-dilution method and demonstrated an MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. According to the methods of treatment of the present invention, bacterial infections are treated or prevented in a patient such as a human or other animals by administering to the patient a therapeutically effective amount of a compound of the invention, in such amts. and for such time as is necessary to achieve the desired result (no data). Thus, I (A and B together with the carbon atom to which they are attached = C:CH<sub>2</sub>, X and Y together with the carbon atom to which they are attached = C:NAc, L = Et, W is NMe<sub>2</sub>, Z = R = H) was prepared and tested as antibacterial agent.

ST bicyclic erythromycin macrolide prepn antibacterial human prodrug

IT Antibiotics

(aminoglycoside; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Infection

(bacterial; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Antibiotics

(macrolide; preparation of bicyclic erythromycin macrolides as antibacterial agents)

|    |  |   |              |              |              |  |
|----|--|---|--------------|--------------|--------------|--|
| IT | Antibacterial agents   |   |              |              |              |  |
|    | Antibiotics  |   |              |              |              |  |
|    | Human<br>(preparation of bicyclic erythromycin macrolides as antibacterial agents)   |   |              |              |              |  |
| IT | Drug delivery systems<br>(prodrugs: preparation of bicyclic erythromycin macrolides as antibacterial agents)   |   |              |              |              |  |
| IT | 625390-06-9P   | 625390-26-3P                                | 625390-39-8P | 625390-42-3P | 625390-44-5P |  |
|    | 625390-48-9P   | 625390-49-0P                                | 625390-51-4P | 625390-52-5P | 625390-53-6P |  |
|    | 625390-54-7P   | 625390-55-8P                                | 625390-56-9P | 625390-57-0P | 625390-58-1P |  |
|    | 625390-59-2P   | 625390-60-5P                                | 625390-61-6P | 625390-62-7P | 625390-63-8P |  |
|    | 625390-64-9P   | 625390-65-0P                                |              |              |              |  |
|    | RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) |   |              |              |              |  |
| IT | (preparation of bicyclic erythromycin macrolides as antibacterial agents)  |   |              |              |              |  |
| IT | 625389-96-0P   | 625389-97-1P                                | 625389-98-2P | 625389-99-3P | 625390-00-3P |  |
|    | 625390-02-5P   | 625390-03-6P                                | 625390-04-7P | 625390-05-8P | 625390-08-1P |  |
|    | 625390-12-7P   | 625390-14-9P                                | 625390-16-1P | 625390-18-3P | 625390-20-7P |  |
|    | 625390-22-9P   | 625390-24-1P                                | 625390-28-5P | 625390-30-9P | 625390-31-0P |  |
|    | 625390-32-1P   | 625390-33-2P                                | 625390-34-3P | 625390-35-4P | 625390-36-5P |  |
|    | 625390-37-6P   | 625390-38-7P                                | 625390-40-1P | 625390-41-2P | 625390-43-4P |  |
|    | 625390-45-6P   | 625390-46-7P                                | 625390-47-8P | 625390-50-3P | 628703-03-7P |  |
|    | RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  |   |              |              |              |  |
| IT | (preparation of bicyclic erythromycin macrolides as antibacterial agents)  |   |              |              |              |  |
| IT | 103-64-0, .beta.-Bromostyrene  | 501-81-5, 3-Pyridylacetic acid              |              |              |              |  |
|    | 1449-46-3, Benzyl triphenylphosphonium bromide   | 5332-24-1,                                  |              |              |              |  |
|    | 3-Bromoquinoline   | 7688-25-7, 1,4-Bis(diphenylphosphino)butane |              |              |              |  |
|    | 13115-43-0, 2-Pyridylacetic acid   | 26776-70-5, 1,3-Dihydroxyacetone dimer      |              |              |              |  |
|    | 111321-02-9  | 315193-22-7                                 | 620161-75-3  | 625390-10-5  |              |  |
|    | RL: RCT (Reactant); RACT (Reactant or reagent)   |   |              |              |              |  |
|    | (preparation of bicyclic erythromycin macrolides as antibacterial agents)  |   |              |              |              |  |

L1 ANSWER 6 OF 6 HCPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:931379 HCPLUS  
DN 140:16927  
ED Entered STN: 28 Nov 2003  
TI Preparation of 6-11 bicyclic erythromycin ketolide derivatives as  
antibacterial agents  
IN Or. Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Vo, Nha Huu; Qiu,  
Yao-ling; Wang, Yanchun; Busuyek, Marina; Hou, Ying; Peng, Yulin; Kim,  
Heejin; Liu, Tongzhu; Farmer, Jay Judson; Xu, Guoyou  
PA Enanta Pharmaceuticals, Inc., USA  
SO PCT Int. Appl., 249 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
IC ICM C07H017-08  
ICS A61K031-7048; A61P031-04  
CC 33-7 (Carbohydrates)  
Section cross-reference(s): 1, 10, 63

FAN.CNT 10  
PATENT NO. KIND DATE APPLICATION NO. DATE  
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PI WO 2003097659 A1 20031127 WO 2003-US14669 20030509  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

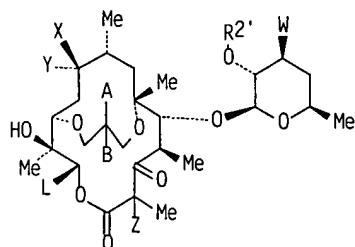
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2005037982 A1 20050217 US 2003-429485 20030505 <--  
 EP 1506214 A1 20050216 EP 2003-733983 20030509  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 PRAI US 2002-144558 A 20020513  
 US 2003-429485 A 20030505  
 WO 2003-US14669 W 20030509

## CLASS

| PATENT NO.    | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---------------|-------|------------------------------------|
| WO 2003097659 | ICM   | C07H017-08                         |
|               | ICS   | A61K031-7048; A61P031-04           |

|                     |
|---------------------|
| OS MARPAT 140:16927 |
|---------------------|

|    |
|----|
| GI |
|----|



AB 6-11 Bicyclic erythromycin ketolide derivs. I, wherein A is OH, ORp, where Rp is a hydroxy protecting group, R1, where R1 is aryl, heteroaryl, OR1, R2, where R2 is H, halogen, alkyl, alkenyl, alkynyl, OR2, amine, amide, sulfonyl, sulfonamide; B is H, deuterium, halogen, OH, R1, R2, ORp; A and B together with the carbon atom to which they are attached form CO, ketal, thioketal, alkylidene, oxime; one of X and Y is H and the other is H, deuterium, OH, ORp, amine; X and Y are together CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, Me, halogen; R2' is H, Rp, were prepared as antibacterial agents. Thus, bicyclic erythromycin ketolide I, wherein A and B taken together with the carbon atom to which they are attached are C=CH<sub>2</sub>, X and Y taken together with the carbon atom to which they are attached are C=N-Ac, L = CHCH<sub>3</sub>, Z = H, and R2' = Ac, was prepared and tested in vitro as antibiotic agent. The compds. of the invention demonstrated in vitro antibacterial activity of MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment.

ST human bicyclic erythromycin ketolide macrolide glycoside prepn  
 antibacterial

IT Glycosides

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (amino; preparation of bicyclic erythromycin ketolide derivs. as  
 antibacterial agents)

IT Antibiotics  
 (aminoglycoside; preparation of bicyclic erythromycin ketolide derivs. as

antibacterial agents)

IT Infection  
 (bacterial; preparation of bicyclic erythromycin ketolide derivs. as  
 antibacterial agents)

IT Antibiotics  
 (macrolide; preparation of bicyclic erythromycin ketolide derivs. as  
 antibacterial agents)

IT Antibacterial agents  
 Antibiotics  
 Human  
 (preparation of bicyclic erythromycin ketolide derivs. as antibacterial  
 agents)

IT 14221-01-3. Tetrakis(triphenylphosphine)palladium 31210-36-3  
 51364-51-3, Pd2(db)3  
 RL: CAT (Catalyst use); USES (Uses)  
 (preparation of bicyclic erythromycin ketolide derivs. as antibacterial  
 agents)

IT 628698-55-5P 628698-56-6P 628698-59-9P 628698-60-2P 628698-61-3P  
 628698-62-4P 628698-64-6P 628698-66-8P 628698-67-9P 628698-68-0P  
 628698-69-1P 628698-70-4P 628698-71-5P 628698-72-6P 628698-74-8P  
 628698-75-9P 628698-81-7P 628698-82-8P 628698-83-9P 628698-84-0P  
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 628699-10-5P 628699-11-6P 628699-12-7P 628699-13-8P 628699-15-0P  
 628699-16-1P 628699-17-2P 628699-18-3P 628699-19-4P 628699-20-7P  
 628699-21-8P 628699-22-9P 628699-23-0P 628699-24-1P 628699-25-2P  
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 628699-86-5P 628699-87-6P 628699-88-7P 628699-89-8P 628699-90-1P  
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 628700-56-1P 628700-58-3P 628700-60-7P 628700-62-9P 628700-64-1P  
 628700-66-3P 628700-68-5P 628700-69-6P 628700-71-0P 628700-73-2P  
 628700-75-4P 628700-77-6P 628700-79-8P 628700-81-2P 628700-83-4P  
 628700-85-6P 628700-87-8P 628700-89-0P 628700-91-4P 628700-93-6P  
 628700-95-8P 628700-96-9P 628700-98-1P 628701-00-8P 628701-02-0P  
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 628701-10-0P 628701-12-2P 628701-13-3P 628701-15-5P 628701-17-7P  
 628701-18-8P 628701-19-9P 628701-21-3P 628701-23-5P 628701-25-7P  
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 628701-40-6P 628701-43-9P 628701-45-1P 628701-47-3P 628701-49-5P  
 628701-51-9P 628701-53-1P 628701-55-3P 628701-57-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

|    |              |              |              |              |              |
|----|--------------|--------------|--------------|--------------|--------------|
| IT | 628701-59-7P | 628701-61-1P | 628701-63-3P | 628701-64-4P | 628701-65-5P |
|    | 628701-66-6P | 628701-68-8P | 628701-69-9P | 628701-70-2P | 628701-71-3P |
|    | 628701-73-5P | 628701-74-6P | 628701-75-7P | 628701-76-8P | 628701-77-9P |
|    | 628701-78-0P | 628701-79-1P | 628701-80-4P | 628701-81-5P | 628701-82-6P |
|    | 628701-83-7P | 628701-84-8P | 628701-85-9P | 628701-86-0P | 628701-88-2P |
|    | 628701-90-6P | 628701-91-7P | 628701-93-9P | 628701-94-0P | 628701-95-1P |
|    | 628701-96-2P | 628701-97-3P | 628701-98-4P | 628701-99-5P | 628702-01-2P |
|    | 628702-03-4P | 628702-05-6P | 628702-06-7P | 628702-07-8P | 628702-08-9P |
|    | 628702-09-0P | 628702-10-3P | 628702-11-4P | 628702-12-5P | 628702-14-7P |
|    | 628702-16-9P | 628702-18-1P | 628702-20-5P | 628702-21-6P | 628702-22-7P |
|    | 628702-24-9P | 628702-25-0P | 628702-27-2P | 628702-28-3P | 628702-30-7P |
|    | 628702-32-9P | 628702-35-2P | 628702-36-3P | 628702-37-4P | 628702-38-5P |
|    | 628702-39-6P | 628702-40-9P | 628702-41-0P | 628702-42-1P | 628702-43-2P |
|    | 628702-44-3P | 628702-45-4P | 628702-46-5P | 628702-47-6P | 628702-48-7P |
|    | 628702-49-8P | 628702-50-1P | 628702-51-2P | 628702-52-3P | 628702-53-4P |
|    | 628702-54-5P | 628702-55-6P | 628702-56-7P | 628702-57-8P | 628702-58-9P |
|    | 628702-59-0P | 628702-60-3P | 628702-61-4P | 628702-62-5P | 628702-63-6P |
|    | 628702-64-7P | 628702-65-8P | 628702-66-9P | 628702-67-0P | 628702-68-1P |
|    | 628702-69-2P | 628702-70-5P | 628702-71-6P | 628702-72-7P | 628702-73-8P |
|    | 628702-74-9P | 628702-75-0P | 628702-76-1P | 628702-77-2P | 628702-78-3P |
|    | 628702-79-4P | 628702-80-7P | 628702-81-8P | 628702-82-9P | 628702-83-0P |
|    | 628702-84-1P | 628702-85-2P | 628702-89-6P | 628702-90-9P | 628702-92-1P |
|    | 628702-93-2P | 628702-94-3P | 628702-95-4P | 628702-97-6P | 628702-98-7P |
|    | 628702-99-8P | 628703-00-4P | 628703-01-5P | 628703-06-0P | 628703-09-3P |
|    | 628703-10-6P | 628703-11-7P | 628703-12-8P | 628703-13-9P | 628703-14-0P |
|    | 628703-15-1P | 628703-19-5P | 628703-26-4P | 628708-46-3P | 628708-48-5P |
|    | 628708-49-6P | 628708-50-9P | 628708-51-0P | 628708-52-1P |              |

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

|    |              |              |              |              |              |
|----|--------------|--------------|--------------|--------------|--------------|
| IT | 116700-73-3P | 123784-07-6P | 620161-75-3P | 625389-96-0P | 625389-97-1P |
|    | 625389-98-2P | 625390-00-3P | 625390-04-7P | 625390-05-8P | 625390-08-1P |
|    | 625390-10-5P | 625390-12-7P | 625390-14-9P | 625390-16-1P | 625390-18-3P |
|    | 625390-20-7P | 625390-28-5P | 625390-30-9P | 625390-31-0P | 625390-32-1P |
|    | 625390-35-4P | 628698-52-2P | 628698-53-3P | 628698-54-4P | 628698-73-7P |
|    | 628702-86-3P | 628702-87-4P | 628702-88-5P | 628702-91-0P | 628702-96-5P |
|    | 628703-02-6P | 628703-03-7P | 628703-04-8P | 628703-05-9P | 628703-16-2P |
|    | 628703-17-3P | 628703-18-4P | 628703-20-8P | 628703-21-9P | 628703-22-0P |
|    | 628703-23-1P | 628703-24-2P | 628703-25-3P | 628703-27-5P |              |

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

|    |                                  |                                    |                                       |                               |             |
|----|----------------------------------|------------------------------------|---------------------------------------|-------------------------------|-------------|
| IT | 62-53-3. Aniline, reactions      | 64-04-0. Phenethylamine            | 80-17-1                               | 92-66-0                       |             |
|    | 100-39-0                         | 100-46-9. Benzylamine, reactions   | 101-55-3                              | 103-64-0.                     |             |
|    | .beta.-Bromostyrene              | 105-36-2                           | 504-29-0.                             | 2-Pyridinamine                | 524-38-9.   |
|    | N-Hydroxyphthalimide             | 590-17-0                           | 591-50-4.                             | Iodobenzene                   | 613-94-5    |
|    | 622-30-0. Benzyloxyhydroxylamine | 622-33-3                           | 932-87-6                              | 1034-49-7                     |             |
|    | 1449-46-3                        | 1589-82-8. Benzylmagnesium bromide | 1730-25-2.                            | Allylmagnesium                |             |
|    | bromide                          | 1782-39-4                          | 1944-96-3                             | 2038-57-5. Benzenepropanamine |             |
|    | 2113-57-7                        | 2567-29-5                          | 3277-89-2. Phenethylmagnesium bromide | 3319-99-1                     |             |
|    | 3360-54-1                        | 3513-81-3                          | 4616-54-0                             | 4732-11-0                     | 4846-21-3   |
|    | 4930-98-7                        | 5332-24-1                          | 7688-25-7                             | 13214-66-9. Benzenebutanamine |             |
|    | 14704-31-5                       | 15256-11-8                         | 18462-35-6                            | 26146-77-0                    | 26776-70-5. |
|    | 1,3-Dihydroxyacetone dimer       | 27570-08-7                         | 30777-95-8                            | 30777-96-9                    |             |
|    | 33675-41-1                       | 36881-42-2                         | 37756-48-2                            | 37832-20-5                    | 39854-54-1  |
|    | 52552-21-3                       | 54624-57-6                         | 55418-29-6                            | 55418-32-1                    | 58841-74-0  |

|             |                            |             |             |                     |
|-------------|----------------------------|-------------|-------------|---------------------|
| 60691-90-9  | 64908-64-1                 | 66305-82-6  | 72915-12-9  | 74771-11-2          |
| 78254-23-6  | 79349-78-3                 | 83670-46-6  | 87413-09-0  | Dess-Martin reagent |
| 92856-14-9  | 94115-39-6                 | 111321-02-9 | 115665-71-9 | 133609-18-4         |
| 133745-75-2 | N-Fluorobenzenesulfonimide | 144429-18-5 | 149649-90-1 |                     |
| 150191-56-3 | 154357-82-1                | 160725-45-1 | 198694-68-7 | 205111-38-2         |
| 205111-39-3 | 205111-41-7                | 205114-21-2 | 207746-06-3 | 218431-37-9         |
| 218431-38-0 | 291530-89-7                | 313343-88-3 | 500891-77-0 | 545423-63-0         |
| 545423-64-1 | 545445-38-3                | 628698-63-5 | 628698-65-7 | 628698-76-0         |
| 628698-77-1 | 628698-78-2                | 628698-79-3 | 628698-80-6 | 628700-16-3         |
| 628700-17-4 | 628703-03-7                | 628703-07-1 | 628703-08-2 | 628703-28-6         |
| 628703-29-7 | 628703-30-0                | 628703-31-1 | 628703-32-2 | 628703-33-3         |
| 628703-34-4 | 628703-35-5                | 628703-36-6 | 628703-38-8 | 628703-39-9         |
| 628703-40-2 | 628703-41-3                | 628703-42-4 | 628703-43-5 | 628703-46-8         |
| 628703-48-0 | 628703-50-4                | 628703-51-5 | 628703-52-6 | 628703-53-7         |
| 628703-54-8 | 628703-55-9                | 628703-56-0 | 628703-57-1 | 628703-58-2         |
| 628703-59-3 | 628703-60-6                | 628703-61-7 | 628703-62-8 | 628703-63-9         |
| 628703-64-0 | 628703-65-1                | 628703-66-2 | 628703-67-3 | 628703-68-4         |
| 628703-69-5 | 628703-70-8                | 628703-71-9 | 628703-72-0 | 628703-73-1         |
| 628703-74-2 | 628703-75-3                | 628703-76-4 | 628703-77-5 | 628703-78-6         |
| 628703-79-7 | 628703-80-0                | 628703-81-1 | 628703-82-2 | 628703-83-3         |
| 628703-84-4 | 628703-85-5                | 628703-86-6 | 628703-87-7 | 628703-88-8         |
| 628703-89-9 | 628703-90-2                | 628703-91-3 | 628703-92-4 | 628703-93-5         |
| 628703-94-6 | 628703-95-7                | 628703-96-8 | 628703-97-9 | 628703-98-0         |
| 628703-99-1 | 628704-00-7                | 628704-01-8 | 628704-02-9 | 628704-03-0         |
| 628704-04-1 | 628704-05-2                | 628704-06-3 | 628704-07-4 | 628704-08-5         |
| 628704-09-6 | 628704-10-9                | 628704-11-0 | 628704-12-1 | 628704-13-2         |
| 628704-14-3 | 628704-15-4                | 628704-16-5 | 628704-17-6 | 628704-18-7         |
| 628704-19-8 | 628704-20-1                | 628704-21-2 | 628704-22-3 | 628704-23-4         |
| 628704-24-5 | 628704-25-6                | 628704-26-7 | 628704-27-8 | 628704-28-9         |
| 628704-29-0 | 628704-30-3                | 628704-31-4 | 628704-32-5 | 628704-33-6         |
| 628704-34-7 | 628704-35-8                | 628704-36-9 | 628704-37-0 | 628704-38-1         |
| 628704-39-2 | 628704-40-5                | 628704-41-6 | 628704-42-7 | 628704-43-8         |
| 628704-44-9 | 628704-45-0                | 628704-46-1 | 628704-47-2 | 628704-48-3         |
| 628704-49-4 | 628704-50-7                | 628704-51-8 | 628704-52-9 | 628704-53-0         |
| 628704-54-1 | 628704-55-2                | 628704-56-3 | 628704-57-4 | 628704-58-5         |
| 628704-59-6 | 628704-60-9                | 628704-61-0 | 628704-62-1 | 628704-63-2         |
| 628704-64-3 | 628704-65-4                | 628704-66-5 | 628708-47-4 |                     |

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 87742-13-0

RL: RGT (Reagent); RACT (Reactant or reagent)  
 (preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

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RE

(1) Chu, D; US 5866549 A 1999 HCPLUS

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 CR 2004-042432 [04]: 2004-061977 [06]: 2004-214344 [20]: 2004-226303 [21]:  
 2004-293950 [27]: 2004-542128 [52]: 2004-603360 [58]: 2005-090414 [10]  
 DNC C2004-233225  
 TI Preparation of 6-11 bicyclic erythromycin derivatives, useful as an intermediate in the preparation of bridged erythromycin derivatives. comprises reaction of bicyclic erythromycin derivatives with ester derivatives.  
 DC B02  
 IN GAI, Y; KIM, H; OR, Y S; PHAN, L T; TANG, D; WANG, G; WANG, Z; XU, G  
 PA (GAIY-I) GAI Y; (KIMH-I) KIM H; (ORYS-I) OR Y S; (PHAN-I) PHAN L T;  
 (TANG-I) TANG D; (WANG-I) WANG G; (WANG-I) WANG Z; (XUGG-I) XU G  
 CYC 1  
 PI US 2004171818 A1 20040902 (200463)\* 25 C07H017-08 <--  
 ADT US 2004171818 A1 CIP of US 2002-144396 20020513, CIP of US 2002-144558  
 20020513, CIP of US 2003-429485 20030505, CIP of US 2003-436622 20030513,  
 US 2004-758409 20040114  
 PRAI US 2004-758409 20040114; US 2002-144396 20020513;  
 US 2002-144558 20020513; US 2003-429485 20030505;  
 US 2003-436622 20030513

IC ICM C07H017-08  
 AB US2004171818 A UPAB: 20050211  
 NOVELTY - Preparation of 6-11 bicyclic erythromycin derivatives (III) comprises reaction of bicyclic erythromycin derivatives (I) with ester derivatives (II).

DETAILED DESCRIPTION - Preparation of 6-11 bicyclic erythromycin derivatives of formula (III) comprises reaction of bicyclic erythromycin derivatives of formula (I) with ester derivatives of formula (II).

R1 = aliphatic, alicyclic (optionally substituted saturated), (hetero)aromatic (optionally substituted), heterocyclic (optionally saturated), H, acyl or silane; either

R3, R4 = aliphatic, alicyclic (optionally substituted saturated), (hetero)aromatic (optionally substituted), heterocyclic (optionally saturated), H or acyl; or

NR3R4 = heteroaromatic ring or optionally substituted heterocyclic;

Q = R1, OR1, OC(O)R1 or pyran derivative of formula (a);

Z = R1, OR1, OC(O)R1, OC(O)NR3R4 or OS(O)nR1; either

J, G = H, R1, OR1 or NR3R4; or

CJG = CO, CNR1, CNOR1, CNO(CH2)mR1, CNNHR1, CNNHCOR1, CNNHCONR3R4.

CNNHS(O)nR1 or CN-NCHR1;

R11. Rp = R1;

m = any integer; and

n = 0-2.

An INDEPENDENT CLAIM is also included for the preparation of pyridine derivative of formula (XI).

USE - (I) are useful as a intermediate in the preparation of bridged erythromycin derivatives.

ADVANTAGE - (III) increases oral availability, solubility to allow administration by injection and alter metabolism and rate of excretion.

Dwg.0/0

FS CPI

FA AB; GI; DCN

MC CPI: B02-E; B07-D04B; B07-D08

=> b home

FILE 'HOME' ENTERED AT 09:27:15 ON 24 FEB 2005

=> log h

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 0.21             | 42.86         |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| CA SUBSCRIBER PRICE                        | 0.00             | -4.38         |

SESSION WILL BE HELD FOR 60 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 09:27:18 ON 24 FEB 2005